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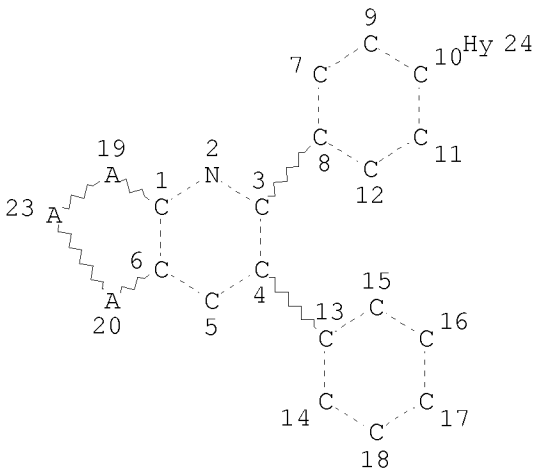

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 13
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

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FULL SEARCH INITIATED 12:11:17 FILE 'REGISTRY'
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100.0% PROCESSED 40161 ITERATIONS 98 ANSWERS
SEARCH TIME: 00.00.02

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FILE LAST UPDATED: 6 Jul 2008 (20080706/ED)

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=> s l14
L15 3 L14

=> d bib abs 1-3

L15 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:411890 CAPLUS
DN 144:450725
TI Preparation of pyrazolopyrimidinones and analogs, and their compositions as cannabinoid CB1 receptor inhibitors
IN Liu, Hong; He, Xiaohui; Choi, Ha-Soon; Yang, Kunyong; Woodmansee, David; Wang, Zhicheng; Ellis, David Archer; Wu, Baogen; He, Yun; Nguyen, Truc Ngoc
PA Irm LLC, Bermuda
SO PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006047516	A2	20060504	WO 2005-US38361	20051026
	WO 2006047516	A3	20061012		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005299421	A1	20060504	AU 2005-299421	20051026
	CA 2581225	A1	20060504	CA 2005-2581225	20051026
	EP 1807429	A2	20070718	EP 2005-813001	20051026
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	CN 101048408	A	20071003	CN 2005-80036890	20051026
	JP 2008518016	T	20080529	JP 2007-539039	20051026

	IN 2007DN02514	A	20070803	IN 2007-DN2514	20070403
	MX 200704936	A	20070625	MX 2007-4936	20070424
	KR 2007057980	A	20070607	KR 2007-709370	20070425
	NO 2007002352	A	20070531	NO 2007-2352	20070507
PRAI	US 2004-622508P	P	20041026		
	US 2005-672670P	P	20050418		
	WO 2005-US38361	W	20051026		

OS CASREACT 144:450725; MARPAT 144:450725

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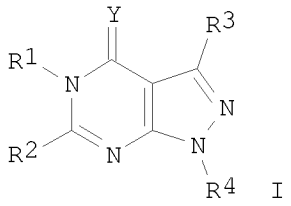

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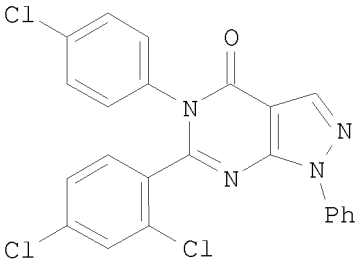

II

AB Title compds. I [Y = O, NH and derivs., S; R1 = (un)substituted Ph, heteroaryl, cycloalkyl, benzyl; R2 = (un)substituted Ph, OPh, heterocycloalkyl, heteroaryl; R3 = H, halo, OH, CN, etc.; R4 = (un)substituted hetero/aryl, alkyl, etc.; and their pharmaceutically acceptable salts, hydrates, solvates and isomers; with the exception of certain compds.] were prepared as selective cannabinoid CB1 receptor inhibitors. Thus, II was prepared, in 3 steps, starting from 5-amino-1-phenyl-1H-pyrazole-4-carboxylic acid Et ester and 2,4-dichlorobenzoyl chloride. Preferred compds. I showed a 100 fold selectivity for CB1 over CB2 receptor. Pharmaceutical compns. comprising I are useful for preventing and treating diseases or disorders associated with the activity of CB1 receptor, e.g. metabolic disorders.

L15 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:120677 CAPLUS

DN 140:163855

TI Preparation of substituted furo[2,3-b]pyridines as antagonists and/or inverse agonists of cannabinoid-1 receptor with therapeutic uses

IN Toupence, Richard B.; Debenham, John S.; Goulet, Mark T.; Madsen-Duggan, Christina B.; Walsh, Thomas F.; Shah, Shrenik K.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2004012671	A2	20040212	WO 2003-US24280	20030801
	WO 2004012671	A3	20050609		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2494091	A1	20040212	CA 2003-2494091	20030801
	AU 2003257145	A1	20040223	AU 2003-257145	20030801
	EP 1558252	A2	20050803	EP 2003-767117	20030801
	EP 1558252	B1	20071010		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005538120	T	20051215	JP 2004-526367	20030801
	AT 375349	T	20071015	AT 2003-767117	20030801
	ES 2294330	T3	20080401	ES 2003-767117	20030801
	US 20050272763	A1	20051208	US 2005-521821	20050121
	US 7091216	B2	20060815		
PRAI	US 2002-400852P	P	20020802		
	US 2003-456332P	P	20030320		
	WO 2003-US24280	W	20030801		

OS MARPAT 140:163855

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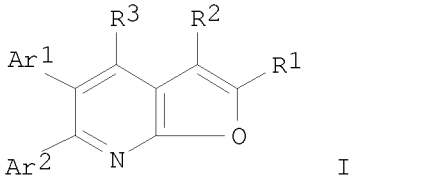

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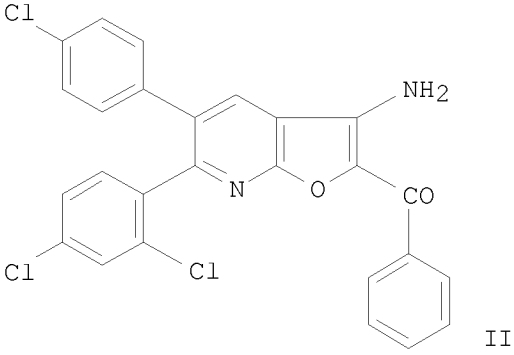

II

AB Novel furopyridines (shown as I; variables defined below; e.g. II) are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory

disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of preparation are not claimed, .apprx.200 example prepns. are included. For example, II was prepared in 3 steps starting by condensing 4-chlorobenzyl 2,4-dichlorophenyl ketone with DMF di-Me acetal in DMF to give 3-dimethylamino-1-(2,4-dichlorophenyl)-2-(4-chlorophenyl)prop-2-en-1-one followed by cyclocondensation with 2-cyanoacetamide and methanol in DMF to give 6-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-oxo-1,2-dihydropyridine-3-nitrile followed by cyclization with 2-chloroacetophenone and Cs2CO3 in DMF. For I: R1 = C1-10alkyl, C2-10alkenyl, C2-10alkynyl, -CN, -COR4, -S(O)mR4, -S(O)2NH(CO)nNRe, cycloheteroalkyl, aryl, and heteroaryl; R2 = H, -NR5R6, -COR4, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, aryl, arylC1-6alkyl, arylC2-6alkenyl, heteroaryl, heteroarylC1-6alkyl, heteroarylC2-6alkenyl, cycloheteroalkyl, hydroxy, and ORg; R3 = H, C1-6alkyl, C1-6alkyloxy, trifluoromethyl, trifluoromethoxy, halo, and C3-7cycloalkyl; Ar1 and Ar2 = aryl, heteroaryl; addnl. details are given in the claims. CB1 antagonist/inverse agonist compds. I have IC50s of <1 μM in the CB 1 binding assay; selective CB 1 antagonist/inverse agonist compds. have IC50s 100-fold greater in the CB2 binding assay than in the CB1 assay, and generally have IC50s of ≥1 μM in the CB2 binding assay. CB1 antagonist/inverse agonist compds. I generally have EC50s of <1 μM in the CB1 functional assay and selective CB1 antagonist/inverse agonists generally have EC50s of >1 μM in the CB2 functional assay. IC50 and/or EC50 values are not given for specific examples of I.

L15 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:656768 CAPLUS
DN 139:197478
TI Preparation of pyrazolopyridines as GSK-3 inhibitors
IN Witherington, Jason
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003068773	A1	20030821	WO 2003-GB576	20030212
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	AU 2003245700	A1	20030904	AU 2003-245700	20030212
PRAI	GB 2002-3295	A	20020212		
	GB 2002-6610	A	20020320		
	WO 2003-GB576	W	20030212		

OS MARPAT 139:197478
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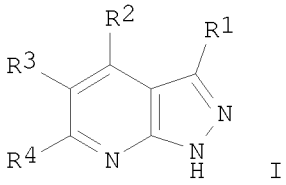

I

AB The title compds. [I; R1 = NR5COR6, NHCONHR7, NHCO2R8; R2 = H; R3 = H, halo, CN, NO2, etc.; R4 = H, cycloalkyl, heterocyclyl, (hetero)aryl, bicyclyl; R5 = H, alkyl; R6 = alkyl, alkenyl, cycloalkyl, etc.; R7 = alkyl, aryl; R8 = alkyl, arylalkyl], useful for the treatment of conditions associated with a need for inhibition of GSK-3 such as diabetes, conditions associated with diabetes, chronic neurodegenerative conditions including dementias such as Alzheimer's disease, Parkinson's disease, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, guam parkinsonism-dementia complex, Picks's disease, corticobasal degeneration, frontotemporal dementia, Huntingdon's disease, AIDS associated dementia, amyotrophic lateral sclerosis, multiple sclerosis and neurotraumatic diseases such as acute stroke, mood disorders such as schizophrenia and bipolar disorders, promotion of functional recovery post stroke, cerebral bleeding (for example, due to solitary cerebral amyloid angiopathy), hair loss, obesity, atherosclerotic cardiovascular disease, hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, cancer, leukopenia, Down's syndrome, Lewy body disease, inflammation, and immunodeficiency, were prepared Thus, acetylation of 6-(4-chlorophenyl)-1H-pyrazolo[3,4-b]pyridin-3-ylamine with acetic anhydride in pyridine afforded I [R1 = NHCOMe; R2, R3 = H; R4 = 4-ClC6H4]. The most potent compds. I show IC50 values of 1-500 nM against GSK-3. Pharmaceutical composition comprising the compound I is claimed.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT